

 **PALM INTRANET**

Day : Thursday

Date: 1/6/2005

Time: 16:03:50

Inventor Information for 10/734882

Inventor Name	City	State/Country
CHILDERS, WAYNE E.	NEW HOPE	PENNSYLVANIA
KELLY, MICHAEL G.	THOUSAND OAKS	CALIFORNIA
SCHECHTER, LEE E.	TOMS RIVER	NEW JERSEY
ROSENZWEIG-LIPSON, SHARON J.	EAST BRUNSWICK	NEW JERSEY

Appn Info	Contents	Petition Info	Atty/Agent Info	Continuity Data	Foreign Data
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Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

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Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	352	514/255.03	USPAT	OR	OFF	2005/01/06 15:50
L2	7960	\$serotonin\$	USPAT	OR	OFF	2005/01/06 15:50
L3	72	l1 and l2	USPAT	OR	OFF	2005/01/06 15:50

16-17 16-21 17-18 18-19 19-20 20-21
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containing 1 : 10 : 22 :

G1:CH3,Et

Match level :

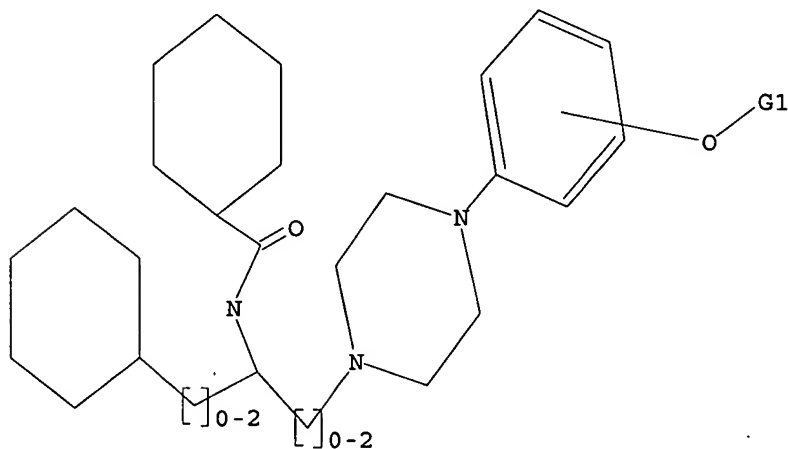
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS
29:CLASS 30:CLASS 31:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



G1 Me,Et

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

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SEARCH TIME: 00.00.01

11 ANSWERS

L3 11 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 15:40:25 ON 06 JAN 2005

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FILE COVERS 1907 - 6 Jan 2005 VOL 142 ISS 2

FILE LAST UPDATED: 5 Jan 2005 (20050105/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 3 L3

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ask
work

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:832771 CAPLUS

DOCUMENT NUMBER: 137:337919

TITLE: Preparation of piperazines as serotonin 5-HT1A receptor antagonists with long duration of in vivo effects and as radioligands for binding studies
Childers, Wayne Everett; Kelly, Michael Gerard; Schechter, Lee Erwin; Rosenzweig-Lipson, Sharon Joy

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085871	A2	20021031	WO 2002-US10274	20020402
WO 2002085871	A3	20030220		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

TH

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 6696450 B2 20040224

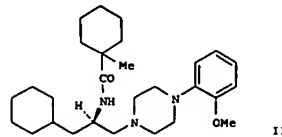
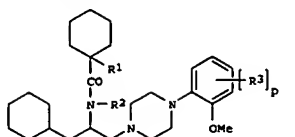
US 2004127505 A1 20040701 US 2003-734882 20031212

PRIORITY APPL. INFO.: US 2001-281542P P 20010404

US 2002-114577 A3 20020402

OTHER SOURCE(S): MARPAT 137:337919
GI

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [R1, R2 = H, alkyl; R3 = radiolabel, e.g., 3H, 13C, 14C etc.; p = 0, 1] and their pharmaceutically acceptable salts were prepared

For example, coupling of [(1R)-1-cyclohexylmethyl-2-(4-(2-methoxyphenyl)piperazin-1-yl)ethyl]amine and 1-methylcyclohexanecarboxylic acid chloride provided claimed piperazine II in 63 % yield. In human serotonin 5-HT1A receptor binding assays, compound II exhibited an IC50 value of 1.23 nM. Compds. I have a long duration of action, with half-lives measured by days rather than hours, making them useful for the treatment of chronic diseases resulting from the dysfunction of the serotonergic 5-HT1A system. Also, radiolabeling of compds. I are claimed useful as ligands for binding studies, for in vitro and in vivo imaging of

cells and for use in positron emission topog. (PET) studies in mammals. 252894-71-6P 474013-04-2P 474013-09-7P 474013-13-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazines as serotonin 5-HT1A receptor

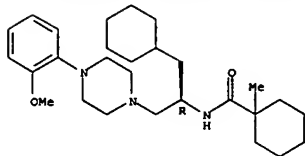
antagonists with long duration of in vivo effects and as radioligands for binding studies)

RN 252894-71-6 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

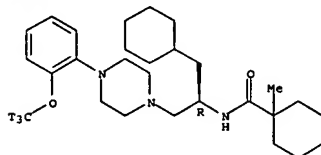
Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



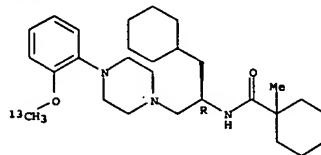
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CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxy-13C)phenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474013-09-7 CAPLUS
CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxy-13C)phenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

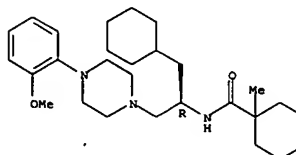


RN 474013-12-2 CAPLUS
CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habe

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

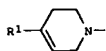
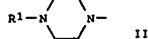
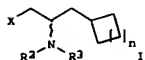
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01/06/2005

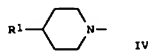
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:309881 CAPLUS
 DOCUMENT NUMBER: 136:325567
 TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
 INVENTOR(S): Childers, Wayne E.; Kelly, Michael G.; Palmer, Yvette L.; Podlesny, Edward J.
 PATENT ASSIGNER(S): American Home Products Corporation, USA
 SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 333,158, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376494	B1	20020423	US 2000-723478	20001128
US 2002156075	A1	20021024	US 2002-107866	20020327
US 6518272	B2	20030211		
US 2003139449	A1	20030724	US 2003-340087	20030110
PRIORITY APPLN. INFO.:			US 1998-135107P	P 19980615
			US 1999-333158	B2 19990614
			US 2000-723478	A3 20001128
			US 2002-107866	A3 20020327

OTHER SOURCE(S): MARPAT 136:325567
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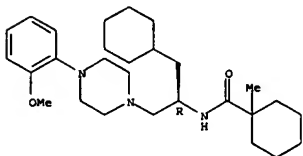
III



IV

AB The title compds. [I; X = II-IV; n = 1-5; R1 = (un)substituted C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiazole; R2 = H, alkyl; R3 = H, COR5, COR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists

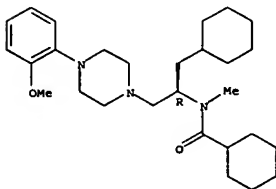
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 252894-56-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 252894-57-8 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

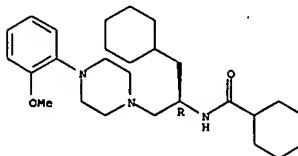
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 and antagonists and therefore may be useful for the treatment of anxiety and depression, were prep. Thus, reacting
 [(1R)-1-cyclohexylmethyl-2-[(4-(2-methoxyphenyl)piperazin-1-yl)ethyl]amine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-1,2HCl [X = H (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.

IT 252894-54-5P 252894-55-6P 252894-56-7P
 252894-57-8P 252894-70-5P 252894-71-6P
 252894-72-7P 252894-73-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)

RN 252894-54-5 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

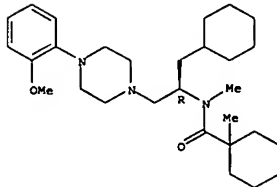


● 2 HCl

RN 252894-55-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

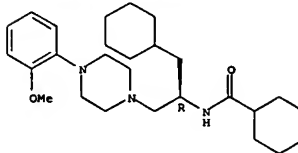
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● 2 HCl

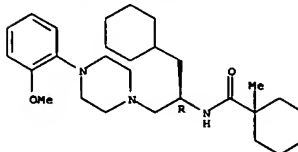
RN 252894-70-5 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



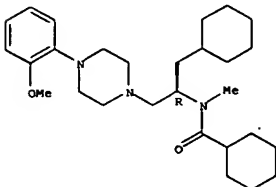
RN 252894-71-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



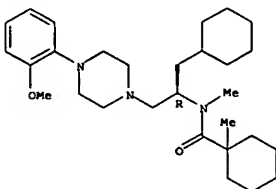
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 252894-72-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-73-8 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



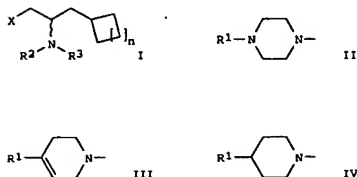
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L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:811224 CAPLUS
 DOCUMENT NUMBER: 132:49981
 TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
 INVENTOR(S): Childers, Wayne Everett; Kelly, Michael Gerard; Palmer, Yvette Latko; Podlesny, Edward James
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965887	A1	19991223	WO 1999-US13397	19990614
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OTHER SOURCE(S): MARPAT 132:49981
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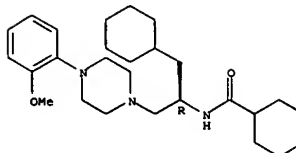
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; X = II-IV; n = 1-5; R1 = C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiazole; R2 = H, alkyl; R3 = H, COR5, CO2R5, CONR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists and antagonists and therefore may be useful for the treatment of anxiety, depression, cognitive deficits, prostate cancer, nausea, and vomiting, were prepared thus, reacting [(1R)-1-cyclohexylmethyl-2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]amine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-I.2HCl [X = II (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.
 IT 252894-54-5P 252894-55-6P 252894-56-7P
 252894-57-8P 252894-70-5P 252894-71-6P
 252894-72-7P 252894-73-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)
 RN 252894-54-5 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

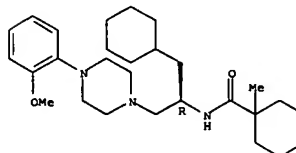
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● 2 HCl

RN 252894-55-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

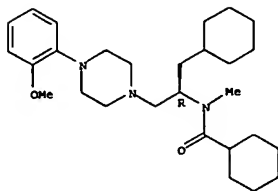


● HCl

RN 252894-56-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

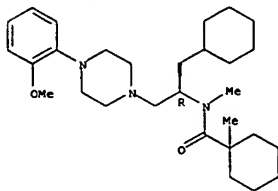
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● 2 HCl

RN 252894-57-8 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



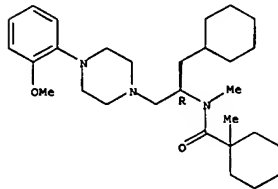
● 2 HCl

RN 252894-70-5 CAPLUS
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Absolute stereochemistry.

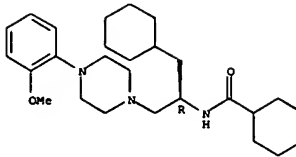
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
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Absolute stereochemistry.



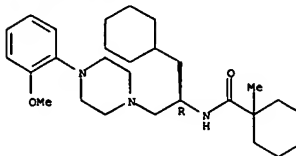
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR
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 FORMAT

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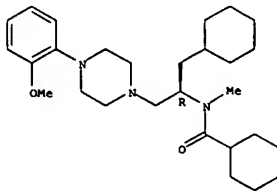
RN 252894-71-6 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-72-7 CAPLUS
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-73-8 CAPLUS